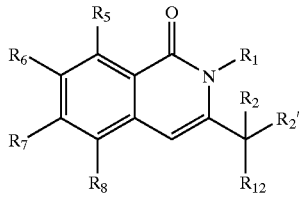
50 μg/ml microtubules, 1 mM DTT (Sigma D9779), 5 μM paclitaxel (Sigma T-7402), 10 ppm antifoam 289 (Sigma A-8436), 25 mM Pipes/KOH pH 6.8 (Sigma P6757), 2 mM MgCl2 (VWR JT4003-01), and 1 mM EGTA (Sigma E3889). Serial dilutions (8-12 two-fold dilutions) of the compounds are made in a 96-well microtiter plate (Corning Costar 3695) using Solution 1. Following serial dilution each well has 50 μl of Solution 1. The reaction is started by adding 50 μl of Solution 2 to each well. This may be done with a multichannel pipettor either manually or with automated liquid handling devices. The microtiter plate is then transferred to a microplate absorbance reader and multiple absorbance readings at 340 nm are taken for each well in a kinetic mode. The observed rate of change, which is proportional to the ATPase rate, is then plotted as a function of the compound concentration. For a standard IC_{50} determination the data acquired is fit by the following four parameter equation using a nonlinear fitting program (e.g., Grafit 4):

$$y = \frac{\text{Range}}{1 + \left(\frac{x}{IC_{50}}\right)^{s}} + Background$$

where y is the observed rate and x the compound concentration.

1. A method of inhibiting KSP kinesin activity which comprises contacting said kinesin with an effective amount of a compound having the structure represented by Formula I:



(I)

wherein:

R_1 is chosen from optionally substituted phenyl-C_1-C_4-alkyl-, optionally substituted heteroaryl-C_1-C_4-alkyl-, and naphthalenylmethyl-;

R_2 and $R_{2'}$ are independently chosen from hydrogen, optionally substituted alkyl-, optionally substituted alkoxy, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; or R_2 and $R_{2'}$ taken together form an optionally substituted 3- to 7-membered ring;

R_{12} is selected from the group consisting of optionally substituted imidazolyl-, optionally substituted imidazolinyl-, —NHR_4; —$N(R_4)(COR_3)$; —$N(R_4)(SO_2R_{3a})$; and —$N(R_4)(CH_2R_{3b})$;

R_3 is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, $R_{15}O$— and R_{17}—NH—;

R_{3a} is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, and R_{17}—NH—;

R_{3b} is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-;

R_4 is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heterocyclyl-, and optionally substituted heteroaralkyl-;

R_5, R_6, R_7 and R_8 are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted alkoxy, halogen, hydroxyl, nitro, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, optionally substituted aryl and optionally substituted heteroaryl;

R_{15} is optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, or optionally substituted heteroaralkyl-; and

R_{17} is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

a pharmaceutically acceptable salt of a compound of Formula I;

a pharmaceutically acceptable solvate of a compound of Formula I;

or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.

2-5. (canceled)

6. A method according to claim 1, wherein R_1 is benzyl.

7. A method according to claim 1, wherein R_2 and $R_{2'}$ are independently chosen from hydrogen, optionally substituted alkyl-, optionally substituted alkoxy, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; or R_2 and $R_{2'}$ taken together form an optionally substituted 3- to 7-membered ring.

8. A method according to claim 7, wherein R_2 is optionally substituted C_1-C_4 alkyl-, and $R_{2'}$ is hydrogen or optionally substituted C_1-C_4 alkyl-.

9. A method according to claim 8, wherein $R_{2'}$ is hydrogen and R_2 is optionally substituted C_1-C_4 alkyl-.

10. A method according to claim 9, wherein $R_{2'}$ is hydrogen and R_2 is ethyl or propyl.

11. A method according to claim 10, wherein R_2 is i-propyl.

12. A method according to claim 1, wherein if either R_2 or $R_{2'}$ is hydrogen, then the other is not hydrogen.

13. A method according to claim 1, wherein R_5, R_6, R_7, and R_8 are independently chosen from hydrogen, hydroxyl, halogen, optionally substituted C_1-C_4 alkyl-, C_1-C_4 alkoxy, cyano, amino, substituted amino, or carbamyl-.